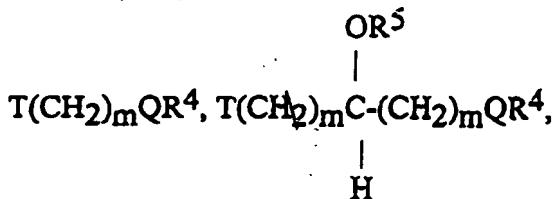


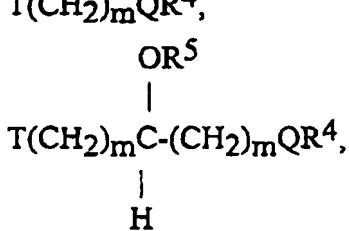
cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, and C<sub>2</sub>-C<sub>10</sub> alkynyl, wherein n is 0, 1, 2, or 3, and the (CH<sub>2</sub>)<sub>n</sub>Ar, (CH<sub>2</sub>)<sub>n</sub>heteroaryl, alkyl, cycloalkyl, alkenyl, and alkynyl groups are optionally substituted by up to 5 groups selected from NR<sup>4</sup>R<sup>5</sup>, N<sup>+(O)</sup>R<sup>4</sup>R<sup>5</sup>, N<sup>+(O)</sup>R<sup>4</sup>R<sup>5</sup>R<sup>6</sup>Y<sup>-</sup>, alkyl, phenyl, substituted phenyl, (CH<sub>2</sub>)<sub>n</sub>heteroaryl, hydroxy, alkoxy, phenoxy, thiol, thioalkyl, halo, COR<sup>4</sup>, CO<sub>2</sub>R<sup>4</sup>, CONR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, SO<sub>3</sub>R<sup>4</sup>, PO<sub>3</sub>R<sup>4</sup>, aldehyde, nitrile, nitro, heteroaryloxy,



C(O)T(CH<sub>2</sub>)<sub>m</sub>QR<sup>4</sup>, NH(C(O)T(CH<sub>2</sub>)<sub>m</sub>QR<sup>4</sup>, T(CH<sub>2</sub>)<sub>m</sub>C(O)NR<sup>4</sup>R<sup>5</sup>, or T(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>R<sup>4</sup> wherein each m is independently 1-6, T is O, S, NR<sup>4</sup>, N<sup>+(O)</sup>R<sup>4</sup>, N<sup>+(O)</sup>R<sup>4</sup>R<sup>6</sup>Y<sup>-</sup>, or CR<sup>4</sup>R<sup>5</sup>, and Q is O, S, NR<sup>5</sup>, N<sup>+(O)</sup>R<sup>5</sup> or N<sup>+(O)</sup>R<sup>5</sup>R<sup>6</sup>Y<sup>-</sup>;

and additionally alkyl, alkenyl and alkynyl can be further substituted with one to three cycloalkyl groups,

when the dotted line is present, R<sup>3</sup> is absent; otherwise R<sup>3</sup> has the meanings of R<sup>2</sup>, wherein R<sup>2</sup> is as defined above, as well as OH, NR<sup>4</sup>R<sup>5</sup>, COOR<sup>4</sup>, OR<sup>4</sup>, CONR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, SO<sub>3</sub>R<sup>4</sup>, PO<sub>3</sub>R<sup>4</sup>, T(CH<sub>2</sub>)<sub>m</sub>QR<sup>4</sup>,



wherein T and Q are as defined above;

R<sup>4</sup> and R<sup>5</sup> are each independently selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, substituted alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, N(C<sub>1</sub>-C<sub>6</sub>alkyl)<sub>1</sub> or 2, (CH<sub>2</sub>)<sub>n</sub>Ar, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, heterocyclyl, and heteroaryl, or R<sup>4</sup> and R<sup>5</sup> together with the nitrogen to which they are attached optionally form a ring having 3 to 7 carbon atoms and said ring optionally contains 1, 2, or 3 heteroatoms selected from the group consisting of nitrogen, substituted nitrogen, oxygen, and sulfur;

when R<sup>4</sup> and R<sup>5</sup> together with the nitrogen to which they are attached form a ring, the said ring is optionally substituted by 1 to 3 groups selected from OH,

$OR^4$ ,  $NR^4R^5$ ,  $(CH_2)_mOR^4$ ,  $(CH_2)_mNR^4R^5$ ,  $T-(CH_2)_mQR_4$ ,  
 $CO-T-(CH_2)_mQR^4$ ,  $NH(CO)T(CH_2)_mQR^4$ ,  $T-(CH_2)_mCO_2R^4$ , or  
 $T(CH_2)_mCONR^4R^5$ ;

$R^6$  is alkyl;

$R^8$  and  $R^9$  independently are H,  $NR^4R^5$ ,  $N^+(O)R^4R^5$ ,  $N^+R^4R^5R^6Y^-$ ,  $COR^4$ ,  
 $CO_2R^4$ ,  $CONR^4R^5$ ,  $SO_2NR^4R^5$ ,  $SO_3R^4$ ,  $PO_3R^4$ , CN or nitro;

when the dotted line is absent,  $R^9$  can additionally  
be = NOH ,

= NOalkyl , =NOalkenyl, =NOalkynyl or =NOcycloalkyl;  
and

Y is a halo counter-ion;

with the proviso that: (a) when  $R^8$  and  $R^9$  are both hydrogen, W is NH,  $R^1$  is hydrogen and X is  $NR^{10}$ , then  $R^{10}$  is neither unsubstituted ( $C_1-C_{10}$ ) alkyl, unsubstituted ( $C_1-C_{10}$ ) alkenyl nor unsubstituted ( $C_1-C_{10}$ ) alkynyl;

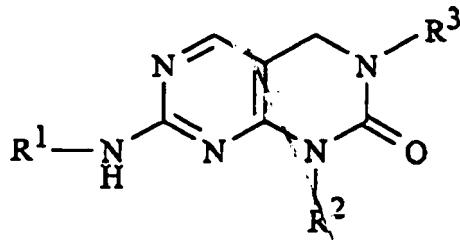
(b) when  $R^8$  or  $R^9$  is  $NR^4R^5$ ,  $N^+(O)R^4R^5$ , or  $N^+R^4R^5R^6Y^-$ , then one or more of  $R^4$ ,  $R^5$  and  $R^6$  must be, independent of the nitrogen to which said one or more  $R^4$ ,  $R^5$  and  $R^6$  are attached, heterocyclic or heteroaryl; and

(c) when  $R^8$  or  $R^9$  is  $COR^4$ ,  $CO_2R^4$ ,  $CONR^4R^5$ ,  $SO_2NR^4R^5$ ,  $SO_3R^4$  or  $PO_3R^4$ , then one or more of  $R^4$ ,  $R^5$  and  $R^6$  must be, independent of the nitrogen to which said one or more  $R^4$ ,  $R^5$  and  $R^6$  are attached,  $(CH_2)_naryl$  wherein n is zero, 1, 2 or 3, heterocyclic or heteroaryl;

(d) when X is S and W is NH, then at least one of R1, R2, R3, R8 and R9 is other than H or  $C_1-C_3$  alkyl

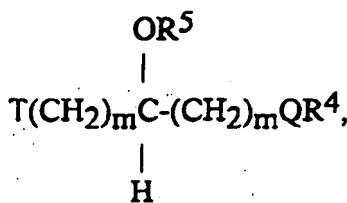
55. A compound of Claim 54, wherein W is NH, and  $R^8$  and  $R^9$  both are hydrogen.

56. A compound of Claim 55 having the formula



wherein:

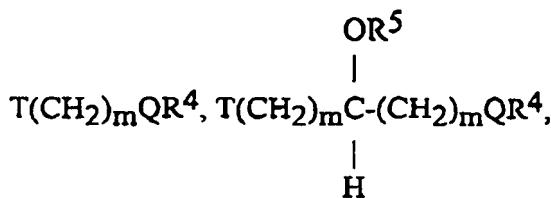
$\text{R}^1$  and  $\text{R}^2$  independently are hydrogen,  $\text{C}_1\text{-}\text{C}_{10}$  alkyl,  $(\text{CH}_2)_n\text{Ar}$ ,  $(\text{CH}_2)_n$  heteroaryl,  $\text{C}_3\text{-}\text{C}_{10}$  cycloalkyl, or  $(\text{CH}_2)_n$  heterocyclyl, wherein  $n$  is 0, 1, 2 or 3, and the  $(\text{CH}_2)_n\text{Ar}$ ,  $(\text{CH}_2)_n$  heteroaryl, alkyl, cycloalkyl and  $(\text{CH}_2)_n$  heterocyclyl groups are optionally substituted by up to 5 groups selected from  $\text{NR}^4\text{R}^5$ ,  $\text{N}^+(\text{O})\text{R}^4\text{R}^5$ ,  $\text{N}^+\text{R}^4\text{R}^5\text{R}^6\text{Y}^-$ , alkyl, phenyl, substituted phenyl,  $(\text{CH}_2)_n$  heteroaryl, hydroxy, alkoxy, phenoxy, thiol, thioalkyl, halo,  $\text{COR}^4$ ,  $\text{CO}_2\text{R}^4$ ,  $\text{CONR}^4\text{R}^5$ ,  $\text{SO}_2\text{NR}^4\text{R}^5$ ,  $\text{SO}_3\text{R}^4$ ,  $\text{PO}_3\text{R}^4$ , aldehyde, nitrile, nitro, heteroaryloxy,  $\text{T}(\text{CH}_2)_m\text{QR}^4$ ,



$\text{C}(\text{O})\text{T}(\text{CH}_2)_m\text{QR}^4$ ,

$\text{NHC}(\text{O})\text{T}(\text{CH}_2)_m\text{QR}^4$ ,  $\text{T}(\text{CH}_2)_m\text{C}(\text{O})\text{NR}^4\text{NR}^5$ , or  $\text{T}(\text{CH}_2)_m\text{CO}_2\text{R}^4$  wherein each  $m$  is independently 1-6,  $\text{T}$  is O, S,  $\text{NR}^4$ ,  $\text{N}^+(\text{O})\text{R}^4$ ,  $\text{N}^+\text{R}^4\text{R}^5\text{R}^6\text{Y}^-$ , or  $\text{CR}^4\text{R}^5$ , and  $\text{Q}$  is O, S,  $\text{NR}^5$ ,  $\text{N}^+(\text{O})\text{R}^5$ , or  $\text{N}^+\text{R}^5\text{R}^6\text{Y}^-$ ;

$\text{R}^3$  has the meanings of  $\text{R}^2$ , wherein  $\text{R}^2$  is as defined above, as well as OH,  $\text{NR}^4\text{R}^5$ ,  $\text{COOR}^4$ ,  $\text{OR}^4$ ,  $\text{CONR}^4\text{R}^5$ ,  $\text{SO}_2\text{NR}^4\text{R}^5$ ,  $\text{SO}_3\text{R}^4$ ,  $\text{PO}_3\text{R}^4$ ,



wherein  $\text{T}$  and  $\text{Q}$  are as defined above;

$R^4$  and  $R^5$  are each independently selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, substituted alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, N(C<sub>1</sub>-C<sub>6</sub>alkyl)<sub>1</sub> or 2, (CH<sub>2</sub>)<sub>n</sub>Ar, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, heterocyclyl, and heteroaryl, or  $R^4$  and  $R^5$  together with the nitrogen to which they are attached optionally form a ring having 3 to 7 carbon atoms and said ring optionally contains 1, 2, or 3 heteroatoms selected from the group consisting of nitrogen, substituted nitrogen, oxygen, and sulfur;

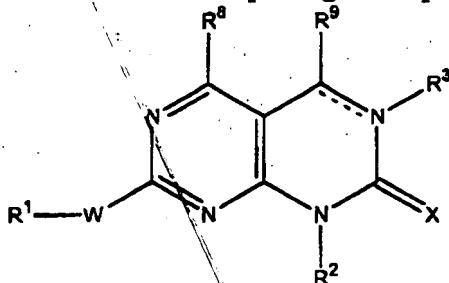
when  $R^4$  and  $R^5$  together with the nitrogen to which they are attached form a ring, the said ring is optionally substituted by 1 to 3 groups selected from OH, OR<sup>4</sup>, NR<sup>4</sup>R<sup>5</sup>, (CH<sub>2</sub>)<sub>m</sub>OR<sup>4</sup>, (CH<sub>2</sub>)<sub>m</sub>NR<sup>4</sup>R<sup>5</sup>, T-(CH<sub>2</sub>)<sub>m</sub>QR<sup>4</sup>, CO-T-(CH<sub>2</sub>)<sub>m</sub>QR<sup>4</sup>, NH(CO)T(CH<sub>2</sub>)<sub>m</sub>QR<sup>4</sup>, T-(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>R<sup>4</sup>, or T(CH<sub>2</sub>)<sub>m</sub>CONR<sup>4</sup>R<sup>5</sup>;

$R^6$  is alkyl; and

Y is a halo counter-ion.

57. A compound of Claim 54 wherein W is S, SO, or SO<sub>2</sub>.

58. A pharmaceutical formulation comprising a compound of compound of Formula I



I

or a pharmaceutically acceptable salt thereof,  
wherein:

the dotted line represents an optional double bond;

W is NH, S, SO, or SO<sub>2</sub>;

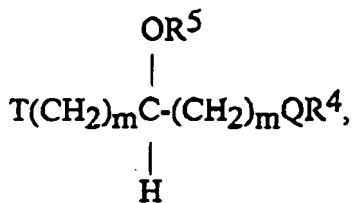
X is either O, S, or NR<sup>10</sup>;

R<sup>1</sup>, R<sup>2</sup>, and R<sup>10</sup> are independently selected from the group consisting of H, (CH<sub>2</sub>)<sub>n</sub>Ar, COR<sup>4</sup>, (CH<sub>2</sub>)<sub>n</sub>heteroaryl, (CH<sub>2</sub>)<sub>n</sub>heterocyclyl, C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>2</sub>-C<sub>10</sub> alkenyl, and C<sub>2</sub>-C<sub>10</sub> alkynyl, wherein n is 0, 1, 2, or 3, and the (CH<sub>2</sub>)<sub>n</sub>Ar, (CH<sub>2</sub>)<sub>n</sub>heteroaryl, alkyl, cycloalkyl, alkenyl, and alkynyl groups

are optionally substituted by up to 5 groups selected from NR<sup>4</sup>R<sup>5</sup>, N<sup>+(O)</sup>R<sup>4</sup>R<sup>5</sup>, N<sup>+</sup>R<sup>4</sup>R<sup>5</sup>R<sup>6</sup>Y, alkyl, phenyl, substituted phenyl, (CH<sub>2</sub>)<sub>n</sub>heteroaryl, hydroxy,

alkoxy, phenoxy, thiol, thioalkyl, halo, COR<sup>4</sup>, CO<sub>2</sub>R<sup>4</sup>, CONR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, SO<sub>3</sub>R<sup>4</sup>, PO<sub>3</sub>R<sup>4</sup>, aldehyde, nitrile, nitro,

heteroaryloxy,  
T(CH<sub>2</sub>)<sub>m</sub>QR<sup>4</sup>,

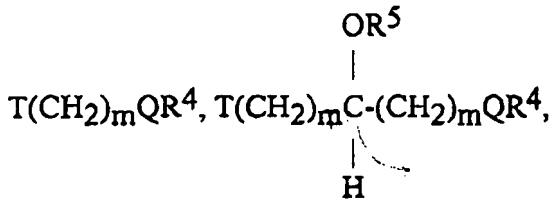


*(Handwritten note: 1-6)*  
 $\text{C}(\text{O})\text{T}(\text{CH}_2)_m\text{QR}^4$ ,  $\text{NHC}(\text{O})\text{T}(\text{CH}_2)_m\text{QR}^4$ ,  $\text{T}(\text{CH}_2)_m\text{C}(\text{O})\text{NR}^4\text{NR}^5$ , or  
 $\text{T}(\text{CH}_2)_m\text{CO}_2\text{R}^4$  wherein each m is independently 1-6, T is O, S, NR<sup>4</sup>,  
 $\text{N}^+(\text{O})\text{R}^4$ , N<sup>+</sup>R<sup>4</sup>R<sup>6</sup>Y<sup>-</sup>, or CR<sup>4</sup>R<sup>5</sup>, and Q is O, S, NR<sup>5</sup>, N<sup>+(O)</sup>R<sup>5</sup> or N<sup>+</sup>R<sup>5</sup>R<sup>6</sup>Y<sup>-</sup>;

and additionally alkyl, alkenyl and alkynyl can be further substituted with one to three cycloalkyl groups,

when the dotted line is present, R<sup>3</sup> is absent;

otherwise R<sup>3</sup> has the meanings of R<sup>2</sup>, wherein R<sup>2</sup> is as defined above, as well as OH, NR<sup>4</sup>R<sup>5</sup>, COOR<sup>4</sup>, OR<sup>4</sup>, CONR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, SO<sub>3</sub>R<sup>4</sup>, PO<sub>3</sub>R<sup>4</sup>,



wherein T and Q are as defined above;

R<sup>4</sup> and R<sup>5</sup> are each independently selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, substituted alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>2</sub>-C<sub>6</sub> alkynyl, N(C<sub>1</sub>-C<sub>6</sub>alkyl)<sub>1</sub> or 2, (CH<sub>2</sub>)<sub>n</sub>Ar, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, heterocyclyl, and heteroaryl, or R<sup>4</sup> and R<sup>5</sup> together with the nitrogen to which they are attached optionally form a ring having 3 to 7 carbon atoms and said ring optionally

contains 1, 2, or 3 heteroatoms selected from the group consisting of nitrogen, substituted nitrogen, oxygen, and sulfur;

when R<sup>4</sup> and R<sup>5</sup> together with the nitrogen to which they are attached form a ring, the said ring is optionally substituted by 1 to 3 groups selected from OH, OR<sup>4</sup>R<sup>5</sup>, (CH<sub>2</sub>)<sub>m</sub>OR<sup>4</sup>, (CH<sub>2</sub>)<sub>m</sub>NR<sup>4</sup>R<sup>5</sup>, T-(CH<sub>2</sub>)<sub>m</sub>QR<sub>4</sub>, CO-T-(CH<sub>2</sub>)<sub>m</sub>QR<sup>4</sup>, NH(CO)T(CH<sub>2</sub>)<sub>m</sub>QR<sup>4</sup>, T-(CH<sub>2</sub>)<sub>m</sub>CO<sub>2</sub>R<sup>4</sup>, or T(CH<sub>2</sub>)<sub>m</sub>CONR<sup>4</sup>R<sup>5</sup>;

R<sup>6</sup> is alkyl;

R<sup>8</sup> and R<sup>9</sup> independently are H, NR<sup>4</sup>R<sup>5</sup>, N<sup>+(O)</sup>R<sup>4</sup>R<sup>5</sup>, N<sup>+</sup>R<sup>4</sup>R<sup>5</sup>R<sup>6</sup>Y<sup>-</sup>, COR<sup>4</sup>, CO<sub>2</sub>R<sup>4</sup>, CONR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, SO<sub>3</sub>R<sup>4</sup>, PO<sub>3</sub>R<sup>4</sup>, CN or nitro;

when the dotted line is absent, R<sup>9</sup> can additionally be = NOH,

= NOalkyl, =NOalkenyl, =NOalkynyl or =NOcycloalkyl;

and

Y is a halo counter-ion;

with the proviso that: (a) when R<sup>8</sup> and R<sup>9</sup> are both hydrogen, W is NH, R<sup>1</sup> is hydrogen and X is NR<sup>10</sup>, then R<sup>10</sup> is neither unsubstituted (C<sub>1</sub>-C<sub>10</sub>) alkyl, unsubstituted (C<sub>1</sub>-C<sub>10</sub>) alkenyl nor unsubstituted (C<sub>1</sub>-C<sub>10</sub>) alkynyl; and

(b) when R<sup>8</sup> or R<sup>9</sup> is NR<sup>4</sup>R<sup>5</sup>, N<sup>+(O)</sup>R<sup>4</sup>R<sup>5</sup>, N<sup>+</sup>R<sup>4</sup>R<sup>5</sup>R<sup>6</sup>Y<sup>-</sup>, COR<sup>4</sup>, CO<sub>2</sub>R<sup>4</sup>, CONR<sup>4</sup>R<sup>5</sup>, SO<sub>2</sub>NR<sup>4</sup>R<sup>5</sup>, SO<sub>3</sub>R<sup>4</sup> or PO<sub>3</sub>R<sup>4</sup>, then one or more of R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> must be, independent of the nitrogen to which said one or more of R<sup>4</sup>, R<sup>5</sup> and R<sup>6</sup> is attached, (CH<sub>2</sub>)<sub>n</sub>aryl wherein n is zero, 1, 2, or 3, heterocyclic or heteroaryl;

(c) when X is S and W is NH, then at least one of R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>8</sub> and R<sub>9</sub> is other than H or C<sub>1</sub>-C<sub>3</sub> alkyl;

in combination with a pharmaceutically acceptable carrier, diluent, or excipient.

59. A pharmaceutical formulation comprising a compound of Claim 56 in combination with a pharmaceutically acceptable carrier, diluent or excipient. - -